1. A compound of formula IV:

$$\begin{array}{c|c}
R^2 & R^2 \\
 & NH \\
 & NH \\
 & R^x & Z^2 \\
 & R^y & Z^1 & Q-R \\
 & IV
\end{array}$$

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

- Z^1 is nitrogen or $C-R^8$ and Z^2 is nitrogen or CH, wherein one of Z^1 or Z^2 is nitrogen.
- Q is selected from $-N(R^4)$ -, -O, -S-, $-C(R^{6'})_2$ -, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl;
- R^{x} and R^{y} are independently selected from $T-R^{3}$ or $L-Z-R^{3}$, or R^{x} and R^{y} are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen, wherein each substitutable ring carbon of said fused ring formed by R^{x} and R^{y} is independently substituted by oxo, $T-R^{3}$, or $L-Z-R^{3}$, and each substitutable ring nitrogen of said ring formed by R^{x} and R^{y} is independently substituted by R^{x} and R^{y} is independently substituted by R^{x} and R^{y} is

R¹ is T-(Ring D);

Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl,

heterocyclyl ring having 1-4 ring heteroatoms selected

heterocyclyl or carbocyclyl, said heteroaryl or

from\nitrogen, oxygen or sulfur, wherein each

substitutable ring carbon of Ring D is independently substituted by oxo, T-R⁵, or V-Z-R⁵, and each substitutable ring nitrogen of Ring D is independently substituted by -R4; T is a valence bond or a C_{1-4} alkylidene chain, wherein when Q is $-CH(\mathbb{R}^6)$ -, a methylene unit of said C_{1-4} alkylidene chain is optionally replaced by -O-, -S-, $-N(R^4)$ -, -CO -, -CONH -, -NHCO -, $-SO_2$ -, $-SO_2NH$ -, $-NHSO_2$ -, $-CO_2-$, -OC(O)-, -QC(O)NH-, or $-NHCO_2-$; Z is a C_{1-4} alkylidene chain;

L is $-O_{-}$, $-S_{-}$, $-SO_{-}$, $-SO_{2}$, $-N(R^{6})SO_{2}$, $-SO_{2}N(R^{6})$ -, $-N(R^6)$ -, -CO-, -CO₂-, $-N(R^6)$ CO-, $-N(R^6)$ C(O) O-, $-N(R^{6})CON(R^{6}) - , -N(R^{6}) + O_{2}N(R^{6}) - , -N(R^{6})N(R^{6}) - ,$ $-C(O)N(R^{6})$ -, $-OC(O)N(R^{6})$ -, $-C(R^{6})_{2}O$ -, $-C(R^{6})_{2}S$ -, $-C(R^{6})_{2}SO_{-}$, $-C(R^{6})_{2}SO_{2}_{-}$, $-C(R^{6})_{2}SO_{2}N(R^{6})_{-}$, $-C(R^{6})_{2}N(R^{6})_{-}$, $-C(R^{6})_{2}N(R^{6})C(O) - , -C(R^{6})_{2}N(R^{6})C(O)O - , -C(R^{6}) = NN(R^{6}) - ,$ $-C(R^{6}) = N - O - , -C(R^{6})_{2}N(R^{6})N(R^{6}) - , -C(R^{6})_{2}N(R^{6})SO_{2}N(R^{6}) - , or$ $-C(R^6)_2N(R^6)CON(R^6)$ -;

 R^2 and $R^{2'}$ are independently selected from -R, -T-W-R⁶, or R^2 and $R^{2'}$ are taken together with their intervening atoms to form a fused, 5-8 membered, unsaturated or partially unsaturated, ring having 0-3 ring heteroatoms selected from nitrogen, oxygen, or sulfur, wherein each substitutable ring carbon of said fused ring formed by R^2 and $R^{2'}$ is independently substituted by halo, oxo, -CN, -NO₂, -R⁷, or -V-R⁶, and each substitutable ring nitrogen of said ring formed by R^2 and $R^{2'}$ is independently substituted by R4;

 R^3 is selected from -R, -halo, -OR, -C($\frac{1}{2}$ O)R, -CO₂R, -COCOR, -COCH₂COR; -NO₂, -CN, -S(O)R, $\sqrt{S(O)_2R}$, -SR,

ų.

```
-N(\mathbb{R}^4)_2, -CON(\mathbb{R}^7)_2, -SO_2N(\mathbb{R}^7)_2, -OC(=O)\mathbb{R}, -N(\mathbb{R}^7)COR,
   -N(R^{\vee})CO_2(C_{1-6} \text{ aliphatic}), -N(R^4)N(R^4)_2, -C=NN(R^4)_2,
   -C=N-O_R, -N(R^7)CON(R^7)_2, -N(R^7)SO_2N(R^7)_2, -N(R^4)SO_2R, or
   -OC(=0)N(R^7)_2;
each R is independently selected from hydrogen or an
   optionally\substituted group selected from C_{1-6}
   aliphatic, C_{k-10} aryl, a heteroaryl ring having 5-10
   ring atoms, or a heterocyclyl ring having 5-10 ring
   atoms;
each R4 is independently selected from -R7, -COR7,
   -CO_2 (optionally substituted C_{1-6} aliphatic), -CON(R^7)_2,
   or -SO_2R^7;
each R^5 is independent by selected from -R, halo, -OR,
   -C(=0)R, -CO_2R, -COCO_R, -NO_2, -CN, -S(0)R, -SO_2R, -SR,
   -N(R^4)_2, -CON(R^4)_2, -SO_2^3N(R^4)_2, -OC(=O)R, -N(R^4)COR,
   -N(R^4)CO_2 (optionally substituted C_{1-6} aliphatic),
   -N(R^4)N(R^4)_2, -C=NN(R^4)_2, -C=N-OR, -N(R^4)CON(R^4)_2,
   -N(R^4)SO_2N(R^4)_2, -N(R^4)SO_2R, or -OC(=O)N(R^4)_2;
V is -O-, -S-, -SO-, -SO<sub>2</sub>-, \sqrt{N(R^6)SO_2}-, -SO<sub>2</sub>N(R<sup>6</sup>)-,
   -N(R^6) -, -CO-, -CO<sub>2</sub>-, -N(R^6)CO-, -N(R^6)C(O)O-,
   -N(R^6)CON(R^6) - , -N(R^6)SO_2N(R^6) - , -N(R^6)N(R^6) - ,
   -C(0)N(R^{6}) -, -OC(0)N(R^{6}) -, -C(R^{6})_{2}O -, -C(R^{6})_{2}S -,
   -C(R^{6})_{2}SO_{-}, -C(R^{6})_{2}SO_{2}^{-}, -C(R^{6})_{3}SO_{2}N(R^{6})_{-}, -C(R^{6})_{2}N(R^{6})_{-},
   -C(R^{6})_{2}N(R^{6})C(O) - , -C(R^{6})_{2}N(R^{6})C(O)O - , -C(R^{6}) = NN(R^{6}) - ,
   -C(R^{6}) = N - O -, -C(R^{6})_{2}N(R^{6})N(R^{6}) -, -C(R^{6})_{2}N(R^{6})SO_{2}N(R^{6}) -, or
   -C(R^6)_2N(R^6)CON(R^6) -;
W is -C(R^6)_2O_-, -C(R^6)_2S_-, -C(R^6)_2S_-, -C(R^6)_2S_-,
   -C(R^{6})_{2}SO_{2}N(R^{6}) -, -C(R^{6})_{2}N(R^{6}) -, -CO_{2} -, -CO_{2} -,
   -C(R^{6})OC(O) - , -C(R^{6})OC(O)N(R^{6}) - , -C(R^{6})_{2}N(R^{6})CO - ,
   -C(R^{6})_{2}N(R^{6})C(O)O-, -C(R^{6})=NN(R^{6})-, -C(R^{6})=N-O-,
   -C(R^{6})_{2}N(R^{6})N(R^{6}) - , -C(R^{6})_{2}N(R^{6})SO_{2}N(R^{6}) - ,
```

 $-C(R^6)_2N(R^6)CON(R^6)$ -, or $-CON(R^6)$ -;

each R^6 is independently selected from hydrogen or an optionally substituted C_{1-4} aliphatic group, or two R^6 groups on the same nitrogen atom are taken together with the nitrogen atom to form a 5-6 membered heterocyclyl or heteroaryl ring;

each $R^{6'}$ is independently selected from hydrogen or a C_{1-4} aliphatic group, or two $R^{6'}$ on the same carbon atom are taken together to form a 3-6 membered carbocyclic ring; each R^{7} is independently selected from hydrogen or an optionally substituted C_{1-6} aliphatic group, or two R^{7} on the same nitrogen are taken together with the nitrogen to form a 5-8 membered heterocyclyl or heteroaryl ring; and

- 2. The compound according to claim 1, wherein Q is selected from -S-, -O-, or -NH-; and said compound has one or more features selected from the group consisting of:
 - (a) R^x is hydrogen, alkyl- or dialkylamino, acetamido, or a C_{1-4} aliphatic group and R^y is $T-R^3$ or $L-Z-R^3$, wherein T is a valence bond or a methylene and R^3 is -R, $-N(R^4)_2$, or -OR; or R^x and R^y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-6 membered ring having 0-2 heteroatoms selected from oxygen, sulfur, or nitrogen, wherein each substitutable ring carbon

of said fused ring formed by R^x and R^y is independently substituted by oxo, $T-R^3$, or L-Z-R, and each substitutable ring nitrogen of said ring formed by R^x and R^y is independently substituted by R^4 ;

- (b) R¹ is T-(Ring D), wherein T is a valence bond or a methylene unit;
- (c) Ring D is a 5-7 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring; and
- (d) R^2 is -R or $-T-W-R^6$ and $R^{2'}$ is hydrogen, or R^2 and $R^{2'}$ are taken together to form an optionally substituted benzo ring.
- 3. The compound according to claim 2, wherein:
- (a) R* is hydrogen, alkyl- or dialkylamino, acetamido, or a C₁₋₄ aliphatic group and R^y is T-R³ or L-Z-R³, wherein T is a valence bond or a methylene and R³ is -R, -N(R⁴)₂, or -OR; or R^x and R^y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-6 membered ring having 0-2 heteroatoms selected from oxygen, sulfur, or nitrogen, wherein each substitutable ring carbon of said fused ring formed by R^x and R^y is independently substituted by oxo, T-R³, or L-Z-R³, and each substitutable ring nitrogen of said ring formed by R^x and R^y is independently substituted by R⁴;
- (b) R¹ is T-(Ring D), wherein T is a valence bond or a methylene unit;
- (c) Ring D is a 5-7 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring; and

- (d) R^2 is -R or $-T-W-R^6$ and $R^{2'}$ is hydrogen, or R^2 and $R^{2'}$ are taken together to form an optionally substituted benzo ring.
- 4. The compound according to claim 2, wherein said compound has one or more features selected from the group consisting of:
 - (a) R^y is T-R³ or L-Z-R³ wherein T is a valence bond or a methylene and R³ is selected from -R, -OR, or -N(R⁴)₂, wherein R is selected from hydrogen, C₁₋₆ aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl; or R^x and R^y are taken together with their intervening atoms to form a benzo, pyrido, cyclopento, cyclohexo, cyclohepto, thieno, piperidino, or imidazo ring, wherein each substitutable ring carbon of said fused ring formed by R^x and R^y is independently substitutable ring nitrogen of said ring formed by R^x and R^y is independently substituted by R⁴;
 - (b) R¹ is T-(Ring D), wherein T is a valence bond, and Ring D is a 5-6 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring;
 - (c) R^2 is -R and $R^{2'}$ is hydrogen, wherein R is selected from hydrogen, C_{1-6} aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and
 - (d) R^3 is selected from -R, -halo, -OR, or $-N(R^4)_2$, wherein R is selected from hydrogen, C_{1-6} aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or $-N(R^4)$ -.

The compound according to claim 4, wherein:

(a) R^y is T-R³ or L-Z-R³ wherein T is a valence bond or a methylene and R³ is selected from -R, -OR, or -N(R⁴)₂, wherein R is selected from hydrogen, C₁₋₆ aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl; or R^x and R^y are taken together with their intervening atoms to form a benzo, pyrido, cyclopento, cyclohexo, cyclohepto, thieno, piperidino, or imidazo ring, wherein each substitutable ring carbon of said fused ring formed by R^x and R^y is independently substitutable ring nitrogen of said ring formed by R^x and R^y is independently substituted by R^x independently substituted by R⁴;

- (b) R¹ is T-(Ring D) wherein T is a valence bond, and Ring D is a 5-6 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring;
- (c) R² is -R and R² is hydrogen, wherein R is selected from hydrogen, C₁₋₆ aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and
- (d) R^3 is selected from -R, -halo, -OR, or $-N(R^4)_2$, wherein R is selected from hydrogen, C_{1-6} aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or $-N(R^4)-$.
- 6. The compound according to claim 4, wherein said compound has one or more features selected from the group consisting of:
 - (a) R* is hydrogen methyl, ethyl, propyl, cyclopropyl, isopropyl, methylamino or acetamido and R* is selected from 2-pyridyl, 4-pyridyl,

pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, methyl, ethyl, cyclopropyl, isopropyl, t-butyl, alkoxyalkylamino, alkoxyalkyl, alkyl- or dialkylamino, alkyl- or dialkylaminoalkoxy, acetamido, optionally substituted phenyl, or methoxymethyl; or R^x and R^y are taken together with their intervening atoms to form a benzo, pyrido, piperidino, or cyclohexo ring, wherein said ring is optionally substituted with -halo, -R, -OR, -COR, -CO₂R, -CON(R⁴)₂, -CN, -O(CH₂)₂₋₄-N(R⁴)₂, -O(CH₂)₂₋₄-R, -NO₂ -N(R⁴)₂, -NR⁴COR, -NR⁴SO₂R, or -SO₂N(R⁴)₂, wherein R is hydrogen or an optionally substituted C₁₋₆ aliphatic group;

- (b) R^1 is T-(Ring D), wherein T is a valence bond and Ring D is a 5-6 membered aryl or heteroaryl ring optionally substituted with one or two groups selected from -halo, -CN, -NO₂, -N(R^4)₂, optionally substituted C_{1-6} aliphatic, -OR, -C(O)R, -CO₂R, -CONH(R^4), -N(R^4)COR, -N(R^4)CO₂R, -SO₂N(R^4)₂, -N(R^4)SO₂R, N(R^6)COCH₂N(R^4)₂, -N(R^6)COCH₂CH₂CH₂N(R^4)₂;
- (c) R^2 is hydrogen or a substituted or unsubstituted group selected from aryl, heteroaryl, or a C_{1-6} aliphatic group, and R^2 is hydrogen; and
- (d) R^3 is selected from -R, -OR or $-N(R^4)_2$, wherein R is selected from hydrogen, C_{1-6} aliphatic, 5-6 membered heterocyclyl, phenyl or 5-6 membered heteroaryl, and L is -O-, -S-, or -NH-; and
- (e) Ring D is substituted by up to three substituents selected from -halo, -CN, -NO₂, -N(R⁴)₂, optionally substituted C₁₋₆ aliphatic group, -OR, -C(O)R, -CO₂R, -CONH(R⁴), -N(R⁴)COR,

 $-N(R^4)CO_2R$, $-SO_2N(R^4)_2$, $-N(R^4)SO_2R$, $-N(R^6)COCH_2N(R^4)_2$, or $-N(R^6)COCH_2CH_2N(R^4)_2$, wherein R is selected from hydrogen, C_{1-6} aliphatic, phenyl, a 5-6 membered heteroxyl ring, or a 5-6 membered heteroxyclic ring.

- 7. The compound according to claim 1, wherein Q is $-C(R^{6'})_2$ -, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl; and said compound has one or more features selected from the group consisting of:
 - (a) R^x is hydrogen, alkyl- or dialkylamino, acetamido, or a C₁₋₄ aliphatic group and R^y is T-R³ or L-Z-R³, wherein T is a valence bond or a methylene and R³ is -R, -N(R⁴)₂, or -OR; or R^x and R^y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-6 membered ring having 0-2 heteroatoms selected from oxygen, sulfur, or nitrogen, wherein each substitutable ring carbon of said fused ring formed by R^x and R^y is independently substituted by oxo, T-R³, or L-Z-R³, and each substitutable ring nitrogen of said ring formed by R^x and R^y is independently substituted by oxo, T-R³, or L-Z-R³, and each substitutable ring nitrogen of said ring formed by R^x and R^y is independently substituted by R⁴;
 - (b) R¹ is T-(Ring D), wherein T is a valence bond or a methylene unit and wherein said methylene unit is optionally replaced by -O-, -NH-, or -S-;
 - (c) Ring D is a 5-7 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring; and
 - (d) R^2 is -R or $-T-W-R^6$ and $R^{2'}$ is hydrogen, or R^2 and $R^{2'}$ are taken together to form an optionally substituted benzo ring.

- 8. The compound according to claim 7, wherein:
- (a) R^x is hydrogen, alkyl- or dialkylamino, acetamido, or a C₁₋₄ aliphatic group and R^y is T-R³ or L-Z-R³, wherein T is a valence bond or a methylene and R³ is -R, -N(R⁴)₂, or -OR; or R^x and R^y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-6 membered ring having 0-2 heteroatoms selected from oxygen, sulfur, or nitrogen, wherein each substitutable ring carbon of said fused ring formed by R^x and R^y is independently substituted by oxo, T-R³, or L-Z-R³, and each substitutable ring nitrogen of said ring formed by R^x and R^y is independently substituted by R⁴;
- (b) R¹ is T-(Ring D), wherein T is a valence bond or a methylene unit and wherein said methylene unit is optionally replaced by -O-, -NH-, or -S-;
- (c) Ring D is a 5-7 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring; and
- (d) R^2 is -R or $-T-W-R^6$ and $R^{2'}$ is hydrogen, or R^2 and $R^{2'}$ are taken together to form an optionally substituted benzo ring.
- 9. The compound according to claim 7, wherein Q is $-C(R^{6'})_2$ or 1,2-cyclopropanediyl, and said compound has one or more features selected from the group consisting of:
 - (a) R^{y} is $T-R^{3}$ or $L-Z-R^{3}$ wherein T is a valence bond or a methylene and R^{3} is selected from -R, -OR, or $-N(R^{4})_{2}$, wherein R is selected from hydrogen, C_{1-6} aliphatic, or 5-6 membered heterocyclyl,

phenyl, or 5-6 membered heteroaryl; or R^x and R^y are taken together with their intervening atoms to form a benzo, pyrido, cyclopento, cyclohexo, cyclohepto, thieno, piperidino, or imidazo ring, wherein each substitutable ring carbon of said fused ring formed by R^x and R^y is independently substituted by oxo, T-R³, or L-Z-R³, and each substitutable ring nitrogen of said ring formed by R^x and R^y is independently substituted by R⁴;

- (b) R¹ is T-(Ring D), wherein T is a valence bond, and Ring D is a 5-6 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring;
- (c) R^2 is -R and R^2 is hydrogen, wherein R is selected from hydrogen, C_{1-6} aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and
- (d) R^3 is selected from -R, -halo, -OR, or $-N(R^4)_2$, wherein R is selected from hydrogen, C_{1-6} aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heterocyclyl, and L is -O-, -S-, or $-N(R^4)$ -.
- 10. The compound according t0 claim 9, wherein:
- (a) R^y is T-R³ or L-Z-R³ wherein T is a valence bond or a methylene and R³ is selected from -R, -OR, or -N(R⁴)₂, wherein R is selected from hydrogen, C₁₋₆ aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl; or R^x and R^y are taken together with their intervening atoms to form a benzo, pyrido, cyclopento, cyclohexo, cyclohepto, thieno, piperidino, or imidazo ring, wherein each substitutable ring carbon of said fused ring formed by R^x and R^y is independently

- substituted by oxo, $T-R^3$, or $L-Z-R^3$, and each substitutable ring nitrogen of said ring formed by R^x and R^y is independently substituted by R^4 ;
- (b) R¹ is T-(Ring D), wherein T is a valence bond, and Ring D is a 5-6 membered monocyclic or an 8-10 membered bicyclic aryl or heteroaryl ring;
- (c) R² is -R and R² is hydrogen, wherein R is selected from hydrogen, C₁₋₆ aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring; and
- (d) R^3 is selected from -R, -halo, -OR, or $-N(R^4)_2$, wherein R is selected from hydrogen, C_{1-6} aliphatic, or 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or $-N(R^4)-$.
- 11. The compound according to claim 9, wherein Q is $-\mathrm{CH_2}-$ and said compound has one or more features selected from the group consisting of:
 - (a) R* is hydrogen methyl, ethyl, propyl, cyclopropyl, isopropyl, methylamino or acetamido and Ry is selected from 2-pyridyl, 4-pyridyl, pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, methyl, ethyl, cyclopropyl, isopropyl, t-butyl, alkoxyalkylamino, alkoxyalkyl, alkyl- or dialkylamino, alkyl- or dialkylaminoalkoxy, acetamido, optionally substituted phenyl, or methoxymethyl; or R* and Ry are taken together with their intervening atoms to form a benzo, pyrido, piperidino, or cyclohexo ring, wherein said ring is optionally substituted with -halo, -R, -OR, -COR, -CO₂R, -CON(R⁴)₂, -CN, -O(CH₂)₂₋₄-N(R⁴)₂, -O(CH₂)₂₋₄-R, -NO₂

- $-N(R^4)_2$, $-NR^4COR$, $-NR^4SO_2R$, or $-SO_2N(R^4)_2$, wherein R is hydrogen or an optionally substituted C_{1-6} aliphatic group;
- (b) R¹ is T-(Ring D), wherein T is a valence bond and Ring D is a 5-6 membered aryl or heteroaryl ring optionally substituted with one or two groups selected from -halo, -CN, -NO₂, -N(R⁴)₂, optionally substituted C₁₋₆ aliphatic, -OR, -C(O)R, -CO₂R, -CONH(R⁴), -N(R⁴)COR, -N(R⁴)CO₂R, -SO₂N(R⁴)₂, N(R⁴)SO₂R, -N(R⁶)COCH₂N(R⁴)₂, -N(R⁶)COCH₂CH₂N(R⁴)₂;
- (c) R^2 is hydrogen or a substituted or unsubstituted group selected from aryl, heteroaryl, or a C_{1-6} aliphatic group and R^2 is hydrogen; and
- (d) R³ is selected from -R, -OR, or -N(R⁴)₂, wherein R is selected from hydrogen, C₁₋₆ aliphatic, 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or -NH-; and
- (e) Ring D is substituted by up to three substituents selected from -halo, -CN, -NO₂, -N(R⁴)₂, optionally substituted C₁₋₆ aliphatic group, -OR, -C(O)R, -CO₂R, -CONH(R⁴), -N(R⁴)COR, -N(R⁴)CO₂R, -SO₂N(R⁴)₂, -N(R⁴)SO₂R, -N(R⁶)COCH₂N(R⁴)₂, or -N(R⁶)COCH₂CH₂N(R⁴)₂, wherein R is selected from hydrogen, C₁₋₆ aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring.
- 12. The compound according to claim 11, wherein:
- (a) R^x is hydrogen methyl, ethyl, propyl, cyclopropyl, isopropyl, methylamino or acetamido and R^y is selected from 2-pyridyl, 4-pyridyl,

pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, methyl, ethyl, cyclopropyl, isopropyl, t-butyl, alkoxyalkylamino, alkoxyalkyl, alkyl- or dialkylamino, alkyl- or dialkylaminoalkoxy, acetamido, optionally substituted phenyl, or methoxymethyl; or R* and R* are taken together with their intervening atoms to form a benzo, pyrido, piperidino, or cyclohexo ring, wherein said ring is optionally substituted with -halo, -R, -OR, -COR, -CO₂R, -CON(R⁴)₂, -CN, -O(CH₂)₂₋₄-N(R⁴)₂, -O(CH₂)₂₋₄-R, -NO₂ -N(R⁴)₂, -NR⁴COR, -NR⁴SO₂R, or -SO₂N(R⁴)₂, wherein R is hydrogen or an optionally substituted C₁₋₆ aliphatic group;

- (b) R¹ is T-(Ring D), wherein T is a valence bond and Ring D is a 5-6 membered aryl or heteroaryl ring optionally substituted with one or two groups selected from -halo, -CN, -NO₂, -N(R⁴)₂, optionally substituted C₁₋₆ aliphatic, -OR, -C(O)R, -CO₂R, -CONH(R⁴), -N(R⁴)COR, -N(R⁴)CO₂R, -SO₂N(R⁴)₂, -N(R⁴)SO₂R, -N(R⁶)COCH₂N(R⁴)₂, -N(R⁶)COCH₂CH₂N(R⁴)₂;
- (c) R^2 is hydrogen or a substituted or unsubstituted group selected from aryl, heteroaryl, or a C_{1-6} aliphatic group, and R^2 is hydrogen; and
- (d) R^3 is selected from -R, -dR, or $-N(R^4)_2$, wherein R is selected from hydrogen, C_{1-6} aliphatic, 5-6 membered heterocyclyl, phenyl, or 5-6 membered heteroaryl, and L is -O-, -S-, or -NH-;
- (e) Ring D is substituted by up to three substituents selected from -halo, -CN, -NO₂, -N(R⁴)₂, optionally substituted C₁₋₆ aliphatic group, -OR, -C(O)R, -CO₂R, -CONH(R⁴), -N(R⁴)COR,

Sub pr

-N(R⁴)CO₂R, -SO₂N(R⁴)₂, -N(R⁴)SO₂R, -N(R⁶)COCH₂N(R⁴)₂, -N(R⁶)COCH₂CH₂N(R⁴)₂, or -N(R⁶)COCH₂CH₂CH₂N(R⁴)₂, wherein R is selected from hydrogen, C_{1-6} aliphatic, phenyl, a 5-6 membered heteroaryl ring, or a 5-6 membered heterocyclic ring.

- 13. A composition comprising a compound according to any one of claims 1-12, and a pharmaceutically acceptable carrier.
- 14. The composition according to claim 13, further comprising an additional therapeutic agent.
- 15. A method of inhibiting Aurora-2 or GSK-3 activity in a biological sample comprising the step of contacting said biological sample with a compound according to any one of claims 1-12.
- 16. A method of inhibiting Aurora-2 activity in a patient comprising the step of administering to said patient a composition according to claim 13.
- 17. A method of inhibiting Aurora-2 activity in a patient comprising the step of administering to said patient a composition according to claim 14.

Sub

18. A method of treating an Aurora-2-mediated disease, which method comprises administering to a patient in need of such a treatment a therapeutically effective amount of a composition according to claim 13.

Sub

- 19. The method according to claim 18, wherein said disease is selected from colon, breast, stomach, or ovarian cancer.
- 20. The method according to claim 19, wherein said method further comprises administering an additional therapeutic agent.
- 21. The method according to claim 20, wherein said additional therapeutic agent is a chemotherapeutic agent.

Substitution

Ŋ

- 22. A method of inhibiting GSK-3 activity in a patient comprising the step of administering to said patient a composition according to claim 13.
- 23. A method of inhibiting GSK-3 activity in a patient comprising the step of administering to said patient a composition according to claim 14.

Sub

- 24. A method of method of treating a GSK-3-mediated disease, which method comprises administering to a patient in need of such a treatment a therapeutically effective amount of a composition according to claim 13.
- 25. The method according to claim 24, wherein said GSK-3-mediated disease is selected from diabetes, Alzheimer's disease, Huntington's Disease, Parkinson's Disease, AIDS-associated dementia, amyotrophic lateral sclerosis (AML), multiple sclerosis (MS), schizophrenia, cardiomycete hypertrophy, repetfusion/ischemia, or baldness.

- 26. The method according to claim 25, wherein said GSK-3-mediated disease is diabetes.
- 27. A method of enhancing glycogen synthesis or lowering blood levels of glucose in a patient in need thereof, which method comprises administering to said patient a therapeutically effective amount of a composition according to claim 13.
- 28. A method of inhibiting the production of hyperphosphorylated Tau protein in a patient, which method comprises administering to a patient in need thereof a therapeutically effective amount of a composition according to claim 13.
- 29. A method of inhibiting the phosphorylation of β -catenin, which method comprises administering to a patient in need thereof a therapeutically effective amount of a composition according to claim 13.